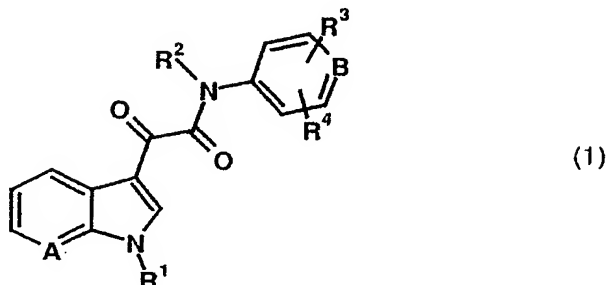


# Claims

1. A compound of the general formula 1



in which

**A** may be nitrogen or an N-oxide group,

**B** may be carbon, nitrogen or an N-oxide group,

$R^1$

- (i) is  $-C_{1-10}$ -alkyl, straight-chain or branched-chain, optionally mono- or polysubstituted by  $-OH$ ,  $-SH$ ,  $-NH_2$ ,  $-NHC_{1-6}$ -alkyl,  $-N(C_{1-6}$ -alkyl) $_2$ ,  $-NHC_{6-14}$ -aryl,  $-N(C_{6-14}$ -aryl) $_2$ ,  $-N(C_{1-6}$ -alkyl)( $C_{6-14}$ -aryl),  $-NO_2$ ,  $-CN$ ,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-O-C_{1-6}$ -alkyl,  $-O-C_{6-14}$ -aryl,  $-S-C_{1-6}$ -alkyl,  $-S-C_{6-14}$ -aryl,  $-SO_3H$ ,  $-SO_2C_{1-6}$ -alkyl,  $-SO_2C_{6-14}$ -aryl,  $-OSO_2C_{1-6}$ -alkyl,  $-OSO_2C_{6-14}$ -aryl,  $-COOH$ ,  $-(CO)C_{1-5}$ -alkyl,  $-COO-C_{1-5}$ -alkyl,  $-O(CO)C_{1-5}$ -alkyl, by mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles with 3-14 ring members or/and by mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles with 5-15 ring members and 1-6 heteroatoms, which are preferably N, O and S, where the  $C_{6-14}$ -aryl groups and the carbocyclic and heterocyclic substituents in turn may optionally be substituted one or more times by  $-C_{1-6}$ -alkyl,  $-OH$ ,  $-NH_2$ ,  $-NHC_{1-6}$ -alkyl,  $-N(C_{1-6}$ -alkyl) $_2$ ,  $-NO_2$ ,  $-CN$ ,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-O-C_{1-6}$ -alkyl,  $-S-C_{1-6}$ -alkyl,  $-SO_3H$ ,  $-SO_2C_{1-6}$ -alkyl,  $-OSO_2C_{1-6}$ -alkyl,  $-COOH$ ,  $-(CO)C_{1-5}$ -alkyl,  $-COO-C_{1-5}$ -alkyl or/and  $-O(CO)C_{1-5}$ -alkyl, and where the alkyl groups on the

carbocyclic and heterocyclic substituents in turn may optionally be substituted one or more times by -OH, -SH, -NH<sub>2</sub>, -F, -Cl, -Br, -I, -SO<sub>3</sub>H or/and -COOH, or

- 5  
10  
15  
20  
25  
30
- (ii) is -C<sub>2-10</sub>-alkenyl, mono- or polyunsaturated, straight-chain or branched-chain, optionally mono- or polysubstituted by -OH, -SH, -NH<sub>2</sub>, -NHC<sub>1-6</sub>-alkyl, -N(C<sub>1-6</sub>-alkyl)<sub>2</sub>, -NHC<sub>6-14</sub>-aryl, -N(C<sub>6-14</sub>-aryl)<sub>2</sub>, -N(C<sub>1-6</sub>-alkyl)(C<sub>6-14</sub>-aryl), -NO<sub>2</sub>, -CN, -F, -Cl, -Br, -I, -O-C<sub>1-6</sub>-alkyl, -O-C<sub>6-14</sub>-aryl, -S-C<sub>1-6</sub>-alkyl, -S-C<sub>6-14</sub>-aryl, -SO<sub>3</sub>H, -SO<sub>2</sub>C<sub>1-6</sub>-alkyl, -SO<sub>2</sub>C<sub>6-14</sub>-aryl, -OSO<sub>2</sub>C<sub>1-6</sub>-alkyl, -OSO<sub>2</sub>C<sub>6-14</sub>-aryl, -COOH, -(CO)C<sub>1-5</sub>-alkyl, -COO-C<sub>1-5</sub>-alkyl, -O(CO)C<sub>1-5</sub>-alkyl, by mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles with 3-14 ring members or/and by mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles with 5-15 ring members and 1-6 heteroatoms, which are preferably N, O and S, where the C<sub>6-14</sub>-aryl groups and the carbocyclic and heterocyclic substituents in turn may optionally be substituted one or more times by -C<sub>1-6</sub>-alkyl, -OH, -NH<sub>2</sub>, -NHC<sub>1-6</sub>-alkyl, -N(C<sub>1-6</sub>-alkyl)<sub>2</sub>, -NO<sub>2</sub>, -CN, -F, -Cl, -Br, -I, -O-C<sub>1-6</sub>-alkyl, -S-C<sub>1-6</sub>-alkyl, -SO<sub>3</sub>H, -SO<sub>2</sub>C<sub>1-6</sub>-alkyl, -OSO<sub>2</sub>C<sub>1-6</sub>-alkyl, -COOH, -(CO)C<sub>1-5</sub>-alkyl, -COO-C<sub>1-5</sub>-alkyl or/and -O(CO)C<sub>1-5</sub>-alkyl, and where the alkyl groups on the carbocyclic and heterocyclic substituents in turn may optionally be substituted one or more times by -OH, -SH, -NH<sub>2</sub>, -F, -Cl, -Br, -I, -SO<sub>3</sub>H or/and -COOH,

R<sup>2</sup> is hydrogen or -C<sub>1-3</sub>-alkyl,

35  
R<sup>3</sup> and R<sup>4</sup> may be identical or different and are hydrogen, -C<sub>1-6</sub>-alkyl, -OH, -SH, -NH<sub>2</sub>, -NHC<sub>1-6</sub>-alkyl, -N(C<sub>1-6</sub>-alkyl)<sub>2</sub>, -NO<sub>2</sub>, -CN, -SO<sub>3</sub>H, -SO<sub>3</sub>-C<sub>1-6</sub>-alkyl, -COOH, -COO-C<sub>1-6</sub>-alkyl, -O(CO)-C<sub>1-5</sub>-alkyl,

-F, -Cl, -Br, -I, -O-C<sub>1-6</sub>-alkyl, -S-C<sub>1-6</sub>-alkyl, -phenyl or -pyridyl, where the phenyl or pyridyl substituents in turn may optionally be substituted one or more times by -C<sub>1-3</sub>-alkyl, -OH, -SH, -NH<sub>2</sub>,  
5 -NHC<sub>1-3</sub>-alkyl, -N(C<sub>1-3</sub>-alkyl)<sub>2</sub>, -NO<sub>2</sub>, -CN, -SO<sub>3</sub>H, -SO<sub>3</sub>C<sub>1-3</sub>-alkyl, -COOH, -COOC<sub>1-3</sub>-alkyl, -F, -Cl, -Br, -I, -O-C<sub>1-3</sub>-alkyl, -S-C<sub>1-3</sub>-alkyl, or/and -O(CO)C<sub>1-3</sub>-alkyl, and where the alkyl substituents in turn may optionally be substituted one or more times by  
10 -OH, -SH, -NH<sub>2</sub>, -F, -Cl, -Br, -I, -SO<sub>3</sub>H, -SO<sub>3</sub>C<sub>1-3</sub>-alkyl, -COOH, -COOC<sub>1-3</sub>-alkyl, -O-C<sub>1-3</sub>-alkyl, -S-C<sub>1-3</sub>-alkyl or/and -O(CO)-C<sub>1-3</sub>-alkyl,

or salts of the compounds of formula 1.

15

2. A compound as claimed in claim 1 having an asymmetric carbon atom in the D form, the L form and D,L mixtures, and in the case of a plurality of asymmetric carbon atoms also the diastereomeric  
20 forms.

3. A compound as claimed in claim 1 or 2, wherein A is N and B is N-O.

25 4. A compound as claimed in claim 3, wherein R<sup>2</sup> is -H or -CH<sub>3</sub>.

5. A compound as claimed in claim 4, wherein at least one of R<sup>3</sup> and R<sup>4</sup> is in each case a halogen atom.

30

6. A compound as claimed in claim 1 or 2, wherein A is N-O and B is CH, CR<sup>3</sup> or N.

35 7. A compound as claimed in claim 6, wherein R<sup>2</sup> is -H or -CH<sub>3</sub>.

8. A compound as claimed in claim 7, wherein at least one of R<sup>3</sup> and R<sup>4</sup> is in each case a halogen atom.

9. A compound as claimed in any of claims 1 to 8 selected from:

5 N-(3,5-dichloropyridin-4-yl)-[1-(4-fluorobenzyl)-7-oxo-7-azaindol-3-yl]glyoxylamide

N-(2,6-dichlorophenyl)-[1-(2-chlorobenzyl)-7-oxo-7-azaindol-3-yl]glyoxylamide

10 N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(4-fluorobenzyl)-7-azaindol-3-yl]glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(4-fluorobenzyl)-7-oxo-7-azaindol-3-yl]glyoxylamide

15 N-phenyl-[1-(4-fluorobenzyl)-7-oxo-7-azaindol-3-yl]glyoxylamide

20 N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(2-fluorobenzyl)-7-azaindol-3-yl]glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(3-nitrobenzyl)-7-azaindol-3-yl]glyoxylamide

25 N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(2,6-difluorobenzyl)-7-azaindol-3-yl]glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(2,4-dichlorobenzyl)-7-azaindol-3-yl]glyoxylamide

30 N-(3,5-dichloropyridin-4-yl)-[1-(2,4-dichlorobenzyl)-7-oxo-7-azaindol-3-yl]glyoxylamide

35 N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(2-chlorobenzyl)-7-azaindol-3-yl]glyoxylamide

N-(3,5-dichloropyridin-4-yl)-[1-(2-chlorobenzyl)-7-oxo-7-azaindol-3-yl]glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(2-chlorobenzyl)-7-oxo-7-azaindol-3-yl]glyoxylamide

5 N-(3,5-dichloropyridin-4-yl)-N-methyl-[1-(2-chlorobenzyl)-7-oxo-7-azaindol-3-yl]glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-N-methyl-[1-(2-chlorobenzyl)-7-azaindol-3-yl]glyoxylamide

10 N-methyl-N-(1-oxopyridin-4-yl)-[1-(2-chlorobenzyl)-7-azaindol-3-yl]glyoxylamide

15 N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(2,6-dichlorobenzyl)-7-azaindol-3-yl]glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(2-methylbenzyl)-7-azaindol-3-yl]glyoxylamide

20 N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(2,6-dimethylbenzyl)-7-azaindol-3-yl]glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-(1-hexyl-7-azaindol-3-yl)glyoxylamide

25 N-(3,5-dichloro-1-oxopyridin-4-yl)-(1-isobutyl-7-azaindol-3-yl)glyoxylamide

30 N-(3,5-dichloro-1-oxopyridin-4-yl)-(1-cyclopropylmethyl-7-azaindol-3-yl)glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-naphth-1-yl-methyl)-7-azaindol-3-yl]glyoxylamide

35 N-(3,5-dichloropyridin-4-yl)-[1-(2-chloro-6-fluorobenzyl)-7-oxo-7-azaindol-3-yl]glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(2-chloro-6-fluorobenzyl)-7-azaindol-3-yl]glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(2-chloro-6-fluorobenzyl)-7-oxo-7-azaindol-3-yl]glyoxylamide

5 N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(2-difluoromethylbenzyl)-7-azaindol-3-yl]glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(2-cyanobenzyl)-7-azaindol-3-yl]glyoxylamide

10 and physiologically tolerated salts thereof.

10. A process for preparing compounds of formula 1, wherein compounds in which A is nitrogen and B can be nitrogen or carbon are oxidised by treatment  
15 with an oxidizing agent to the compounds of the invention of the formula 1a, 1b or 1c.

11. The process as claimed in claim 10, wherein a peracid, in particular m-chloroperbenzoic acid  
20 or/and peracetic acid, is used as oxidizing agent.

12. The process as claimed in claim 10, wherein resulting mixtures of N-oxides are fractionated into the pure compounds of the formula 1a, 1b or  
25 1c by crystallization or/and chromatographic methods.

13. The process as claimed in any of claims 10 to 12, wherein mixtures of the solvents ethyl acetate and methanol, preferably in mixing ratios between  
30 50:50 and 99:1, are used for separating mixtures of N-oxides by chromatographic methods.

14. The use of the compounds of formula 1 as claimed  
35 in any of claims 1 to 9 as therapeutic active ingredients for producing drug products for the treatment of disorders in which inhibition of phosphodiesterase 4 is therapeutically beneficial.

15. The use of the compounds of formula 1 as claimed  
in any of claims 1 to 9 as therapeutic active  
ingredients for producing drug products for the  
treatment of disorders associated with the effect  
of eosinophils.
16. The use of the compounds of formula 1 as claimed  
in any of claims 1 to 9 as therapeutic active  
ingredients for producing drug products for the  
treatment of disorders associated with the effect  
of neutrophils.
17. The use of the compounds of formula 1 as claimed  
in any of claims 1 to 9 as therapeutic active  
ingredients for producing drug products for the  
treatment of hyperproliferative disorders.
18. A drug product comprising one or more compounds as  
claimed in claims 1 to 9 in addition to  
conventional physiologically tolerated carriers  
and/or diluents and excipients.
19. A process for producing a drug product as claimed  
in claim 18, wherein one or more compounds as  
claimed in any of claims 1 to 9 are processed with  
conventional pharmaceutical carriers and/or  
diluents and other excipients to pharmaceutical  
preparations, or are converted into a form which  
can be used therapeutically.
20. The use of compounds of the general formula 1 as  
claimed in any of claims 1 to 9 and/or of drug  
products as claimed in claim 18 alone or in  
combination with one another or in combination  
with other active pharmaceutical ingredients.